STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 116998

TO: Shailendra Kumar **Location: 5d61 / 5c18** **Tuesday, March 16, 2004** **Art Unit: 1621** **Phone: 272-0640** **Serial Number: 09 / 580503**	**From: Jan Delaval** **Location: Biotech-Chem Library** **Rem 1A51** **Phone: 272-2504** **jan.delaval@uspto.gov**

Search Notes

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: S. Kumar Examiner # : 69594 Date: 3/16/011
Art Unit: 1621 Phone Number ~~30~~ 571-272-0614 Serial Number: 09/582,503
Mail Box and Bldg/Room Location: REM 5D61 5C18 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. MEJ

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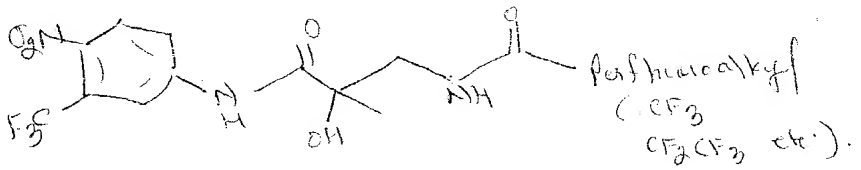
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Topical anti androgen for hair loss and other hyper-androgenic conditions.

Inventors (please provide full names): Milos Sovak et. al.

Earliest Priority Filing Date: 5/25/2000

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



See claims.

****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher:	NA Sequence (#)	STN ✓
Searcher Phone #: 27504	AA Sequence (#)	Dialog
Searcher Location:	Structure (#) ✓	Questel/Orbit
Date Searcher Picked Up:	Bibliographic	Dr.Link
Date Completed: 16+20	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical Prep Time:	Patent Family	WWW/Internet
Online Time:	Other	Other (specify)

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FILE 'REGISTRY' ENTERED AT 16:47:14 ON 16 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   15 MAR 2004  HIGHEST RN 663595-21-9
DICTIONARY FILE UPDATES:  15 MAR 2004  HIGHEST RN 663595-21-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d sta que l34
L32             STR
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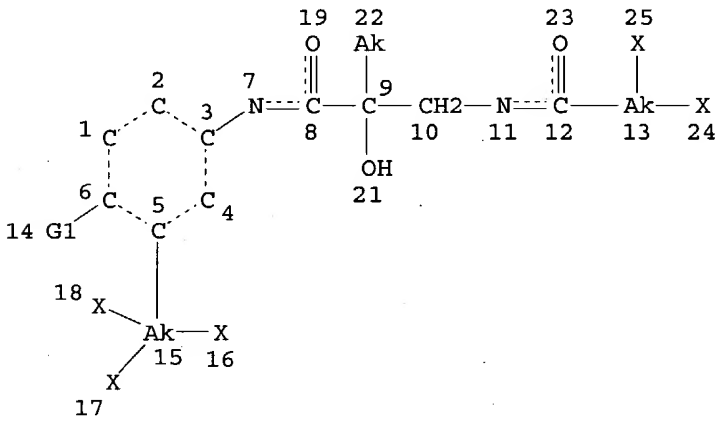


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VAR G1=NO2/CN/X
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  24

STEREO ATTRIBUTES: NONE
L34           5 SEA FILE=REGISTRY SSS FUL L32

100.0% PROCESSED    112 ITERATIONS                          5 ANSWERS
SEARCH TIME: 00.00.01


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L2              STR L1
L3            0 S L2

     FILE 'HCAPLUS' ENTERED AT 16:34:07 ON 16 MAR 2004
                E BIOPHY/PA,CS
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L4           28 S E3-E14
                E SOVAK M/AU
L5           67 S E3,E8
                E SELIGSON A/AU
L6           26 S E4-E6
                E CAMPION B/AU
L7           29 S E3-E5,E9-E11
                E BROWN J/AU
L8          350 S E3
                E BROWN J W/AU
L9          108 S E3-E6
                E BROWN JASON/AU
L10          20 S E3,E15
                E US20040014732/PN
L11           1 S E3
L12           1 S L4-L10 AND L11
L13           2 S 2 HYDROXY 2 METHYL N 4 (1W) 3 TRIFLUOROMETHYL PHENYL 3 (L) PE
L14           2 S L11-L13 AND L4-L10
                SEL RN

     FILE 'REGISTRY' ENTERED AT 16:38:36 ON 16 MAR 2004
L15           6 S E1-E6
L16           3 S L15 AND C6/ES AND F/ELS AND 1/NR
L17           2 S L16 NOT BP 34/CN
                SEL RN
L18           0 S E7-E8/CRN

     FILE 'HCAOLD' ENTERED AT 16:40:40 ON 16 MAR 2004

     FILE 'HCAPLUS' ENTERED AT 16:40:40 ON 16 MAR 2004
L19           2 S BP766 OR BP 766 OR FLURIDIL# OR BP780 OR BP 780
L20           6 S L16
L21          21 S BP34 OR BP 34
L22           6 S L14,L19,L20
L23           2 S L21 AND L22
L24           5 S L13,L14,L17,L19
L25           5 S L20,L21 AND L24
L26           5 S L25 AND L4-L14
L27           2 S L21 AND L4-L14
L28           5 S L26,L27
L29           5 S L28 AND L20

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L30             STR L2
L31           0 S L30
L32             STR L30
L33           0 S L32
L34           5 S L32 FUL
                SAV L34 KUMAR580/A
L35           3 S L34 NOT L17

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L36           4 S L35
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L37             4 S BP731 OR BP562 OR BP521 OR BP()(731 OR 562 OR 521)
L38             9 S L36,L37,L29
L39             6 S L38 AND L4-L11
L40             9 S L38,L39
L41             3 S L40 NOT L36,L29
L42             6 S L40 NOT L41

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L43             3 S L34,L16,L17

     FILE 'REGISTRY' ENTERED AT 16:47:14 ON 16 MAR 2004

=> s l34 or l16
L44             6 L34 OR L16

=> d ide can tot

L44  ANSWER 1 OF 6  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   353279-25-1  REGISTRY
CN   Propanamide, 2,2,3,3,3-pentafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-
     (trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI)   (CA INDEX NAME)
OTHER NAMES:
CN   BP 780
FS   3D CONCORD
MF   C14 H11 F8 N3 O5
SR   CA
LC   STN Files:   CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL
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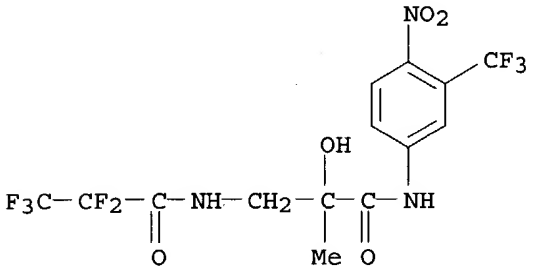


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

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REFERENCE   1:  140:122698

REFERENCE   2:  140:116934

REFERENCE   3:  135:152631

L44  ANSWER 2 OF 6  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   279229-05-9  REGISTRY
CN   Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-
     methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI)   (CA INDEX NAME)
OTHER NAMES:
CN   BP 713
FS   3D CONCORD
MF   C16 H11 F10 N3 O3
SR   CA
LC   STN Files:   CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL
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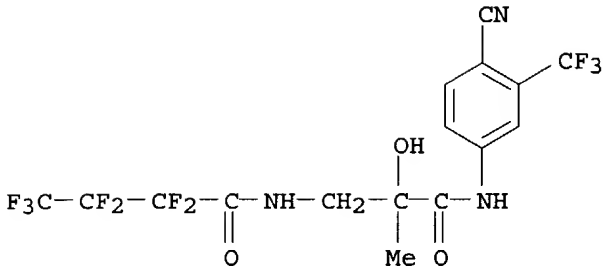


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

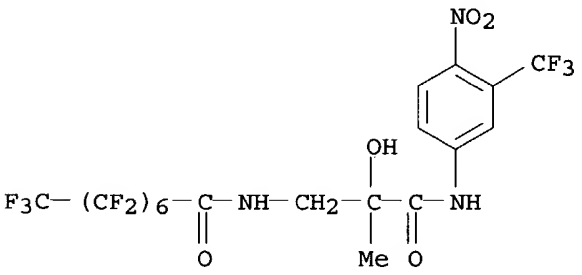
3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:337684

REFERENCE 2: 135:180626

REFERENCE 3: 133:73866

L44 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN
RN 279228-83-0 REGISTRY
CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN BP 562
FS 3D CONCORD
MF C19 H11 F18 N3 O5
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
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REFERENCE 1: 140:122698

REFERENCE 2: 137:337684

REFERENCE 3: 135:180626

REFERENCE 4: 133:73866

L44 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN
RN 279228-82-9 REGISTRY
CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN BP 521
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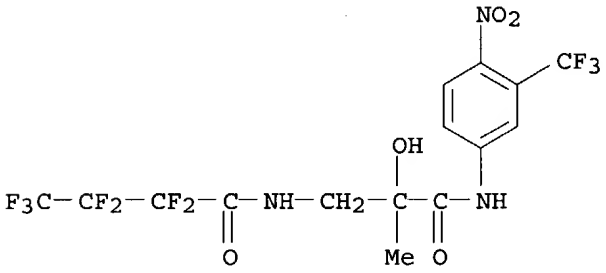
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



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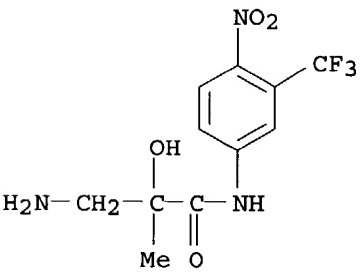
REFERENCE 2: 137:337684

REFERENCE 3: 135:180626

REFERENCE 4: 133:73866

L44 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN
RN **279228-81-8** REGISTRY
CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN BP 34
FS 3D CONCORD
MF **C11 H12 F3 N3 O4**
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



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6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:122698

REFERENCE 2: 140:116934

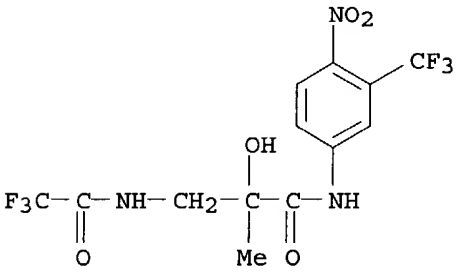
REFERENCE 3: 137:337684

REFERENCE 4: 135:180626

REFERENCE 5: 135:152631

REFERENCE 6: 133:73866

L44 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN
RN **260980-89-0** REGISTRY
CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN BP 766
CN Fluridil
FS 3D CONCORD
MF **C13 H11 F6 N3 O5**
SR CAS Client Services
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



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5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:122698

REFERENCE 2: 140:116934

REFERENCE 3: 137:337684

REFERENCE 4: 135:180626

REFERENCE 5: 135:152631

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FILE 'HCAPLUS' ENTERED AT 16:47:39 ON 16 MAR 2004

FILE COVERS 1907 - 16 Mar 2004 VOL 140 ISS 12
FILE LAST UPDATED: 15 Mar 2004 (20040315/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L42 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:60141 HCAPLUS
DN 140:116934
ED Entered STN: 26 Jan 2004
TI A topical antiandrogen for hair loss and other hyperandrogenic conditions
IN **Sovak, Milos; Seligson, Allen L.; Campion, Brian; Brown, Jason W.**
PA **Biophysica, Inc., USA**
SO U.S. Pat. Appl. Publ., 6 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-56
NCL 514169000
CC 62-3 (Essential Oils and Cosmetics)
Section cross-reference(s): 1, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004014732	A1	20040122	US 2000-580503	20000525 <--
PRAI US 2000-580503		20000525		

AB (**2-Hydroxy-2-methyl-N-(4-X-3-(trifluoromethyl)phenyl)-3-(2,2,2-perfluoroacylamino)propionamide**) compds. (where X is nitro, cyano or halogen) (such as **BP-766** and **BP-780**) applied topically, specifically inhibit and/or eliminate cutaneous androgen receptors and thus find cosmetic use in skin afflictions associated with excess androgens such as hair effluvium, hirsutism, acne and androgenic alopecia.
ST hyperandrogenic condition hair loss topical antiandrogen
IT Androgens
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiandrogens; topical antiandrogen for hair loss and other hyperandrogenic conditions)
IT Hair preparations
(growth stimulants; topical antiandrogen for hair loss and other hyperandrogenic conditions)
IT Alopecia
(topical antiandrogen for hair loss and other hyperandrogenic

conditions)

IT Androgen receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)

IT Drug delivery systems
(topical; topical antiandrogen for hair loss and other hyperandrogenic conditions)

IT **260980-89-0, BP 766 353279-25-1, BP 780**
RL: COS (Cosmetic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)

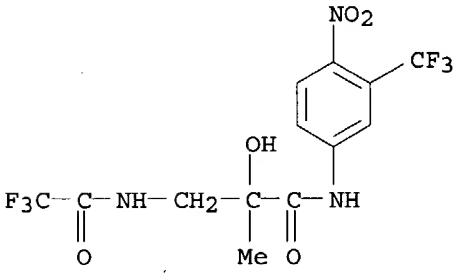
IT 90357-50-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)

IT **279228-81-8P, BP 34**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)

IT **260980-89-0, BP 766 353279-25-1, BP 780**
RL: COS (Cosmetic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)

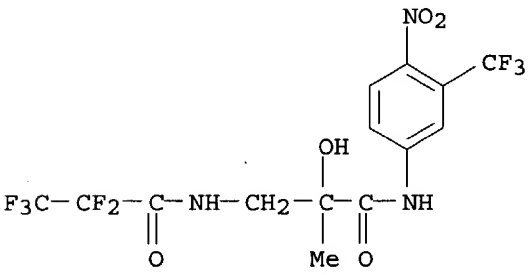
RN 260980-89-0 HCAPLUS

CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

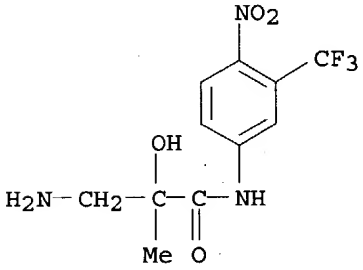


RN 353279-25-1 HCAPLUS

CN Propanamide, 2,2,3,3,3-pentafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



IT **279228-81-8P, BP 34**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)
RN 279228-81-8 HCAPLUS
CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L42 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:625424 HCAPLUS
DN 140:122698
ED Entered STN: 14 Aug 2003
TI Development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia
AU **Seligson, Allen L.**; **Campion, Brian K.**; **Brown, Jason W.**; Terry, Ron C.; Kucerova, Renata; Bienova, Martina; Hajduch, Marian; **Sovak, Milos**
CS **Biophysica, Inc., La Jolla, CA, USA**
SO Drug Development Research (2003), 59(3), 292-306
CODEN: DDREDK; ISSN: 0272-4391
PB Wiley-Liss, Inc.
DT Journal
LA English
CC 1-12 (Pharmacology)
AB Nonsteroidal antiandrogens (AA) cannot be topically used for androgenetic alopecia (AGA) because of systemic resorption. A new class of androgen receptor (AR) suppressors designed for safe topical treatment of AGA was synthesized from (3-amino-2-hydroxy-2-methyl-N-(4-nitro-3-trifluoromethyl)phenyl) propanamide (**BP-34**), to contain perfluoroalkyl moieties. The trifluoromethyl derivative (**fluridil**) at 10 μM decreased expression of the AR in LNCaP human cells by 95%, its serum half-life was 6 h; it decomps. hydrolytically to **BP-34** and trifluoroacetic acid. Acute i.p. maximum tolerated dose (MTD) of **fluridil** in mice is 270-300 mg/kg/d and the subacute MTD is 450 mg/kg/d. The oral LD50 in mice was 2,872 mg/kg in males, 2,232 mg/kg in females, and >2,500 mg/kg in rats. **Fluridil** solution in isopropanol was not cutaneously absorbed in rabbits, did not sensitize or show any phototoxic or photoallergic effects on guinea pig skin, and demonstrated no skin irritation potential in rabbits and humans. **Fluridil** solid induced only slight and reversible eye irritancy in rabbits and displayed no cytotoxicity to rabbit corneal fibroblasts in vitro. **Fluridil** demonstrated no significant mutagenicity potential by Ames method. In a double-blind study, 43 males with AGA, Norwood grade II to Va, used topical 2% **fluridil** in isopropanol or the vehicle daily for 12 mo. Anagens (growing hairs) increased in the **fluridil** group from 76% to 89%. All hematol. and biochem. values remained within normal range, including testosterone, which varied but seasonally. No **fluridil** or its decomposition product (**BP-**

34) was detected in serum. No adverse side effects were reported.

ST **fluridil** topical androgenetic alopecia treatment

IT Human
(development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

IT Drug delivery systems
(topical; development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

IT **279228-81-8P**, **BP 34**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(**BP 34**; development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

IT **353279-25-1P**, **BP 780**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(**BP 780**; development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

IT **260980-89-0P**, **Fluridil**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

IT **279228-82-9P**, **BP 521 279228-83-0P**, **BP 562**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

IT 90357-50-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Airaksinen, M; Ann Med Exp Biol Fenn 1968, V46, P242 HCAPLUS
(2) Battmann, T; J Steroid Biochem Mol Biol 1994, V48, P55 HCAPLUS
(3) Beitel, L; J Mol Endocrinol 1995, V15, P117 HCAPLUS
(4) Chen, S; Cell Prolif 2001, V34, P293 HCAPLUS
(5) Church, A; J Emerg Med 1997, V15, P687 MEDLINE
(6) Claessens, F; J Steroid Biochem Mol Biol 2001, V76, P23 HCAPLUS
(7) Conners, K; Chemical stability of pharmaceuticals-a handbook for pharmacists 1986
(8) Cotsarelis, G; Trends Mol Med 2001, V7, P293 HCAPLUS
(9) Cousty-Berlin, D; J Steroid Biochem Mol Biol 1994, V51, P47 HCAPLUS
(10) de Brouwer, B; Br J Dermatol 1997, V137, P699 HCAPLUS
(11) Frankel, S; Arch Dermatol 1999, V135, P257 MEDLINE
(12) Fritz, W; Mol Cell Endocrinol 2002, V186, P89 HCAPLUS
(13) Fuhrmann, U; J Steroid Biochem Mol Biol 1992, V42, P787 HCAPLUS
(14) Fung, B; J Phys Chem 1988, V92, P4405 HCAPLUS
(15) Hedlund, P; Prostate Suppl 2000, V10, P32 MEDLINE
(16) Hsieh, T; Prostate 1997, V33, P97 HCAPLUS
(17) Kapp, R; Regul Toxicol Pharmacol 1996, V23, P183 HCAPLUS
(18) Katchen, B; J Invest Dermatol 1976, V66, P379 HCAPLUS
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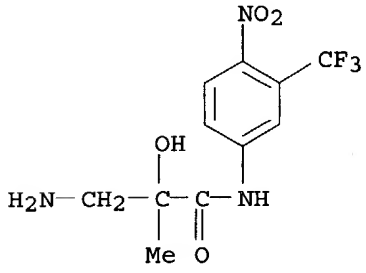
IT **279228-81-8P, BP 34**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(**BP 34**; development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

RN 279228-81-8 HCAPLUS

CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

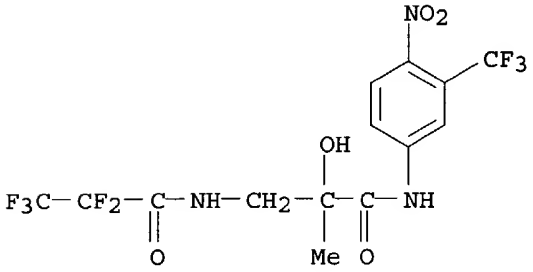


IT **353279-25-1P, BP 780**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(**BP 780**; development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

RN 353279-25-1 HCAPLUS

CN Propanamide, 2,2,3,3,3-pentafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)

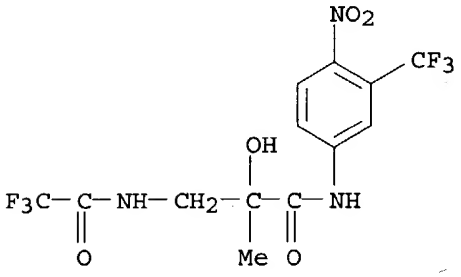


IT **260980-89-0P, Fluridil**

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

RN 260980-89-0 HCAPLUS

CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

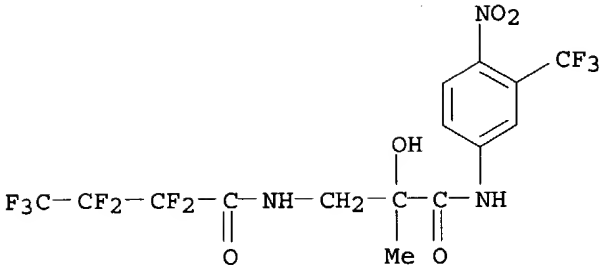


IT **279228-82-9P, BP 521 279228-83-0P, BP 562**

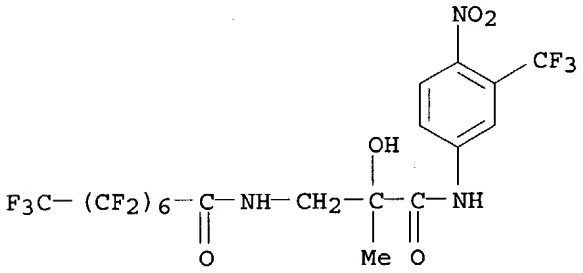
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

RN 279228-82-9 HCAPLUS

CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279228-83-0 HCAPLUS
CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



L42 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:830256 HCAPLUS
DN 137:337684
ED Entered STN: 31 Oct 2002
TI Synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes
IN **Sovak, Milos**; **Seligson, Allen L.**; Douglas, James Gordon, III; **Campion, Brian**; **Brown, Jason W.**
PA **Biophysica, Inc., USA**
SO U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 215,351.
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DT Patent
LA English
IC ICM A61K031-415
ICS A61K031-275; C07D233-72; C07D233-88
NCL 514398000
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 63
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6472415	B1	20021029	US 2000-502376	20000211
US 6184249	B1	20010206	US 1998-215351	19981218
WO 2001058854	A1	20010816	WO 2000-US14792	20000525

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EP 1169301 A1 20020109 EP 2000-937913 20000525
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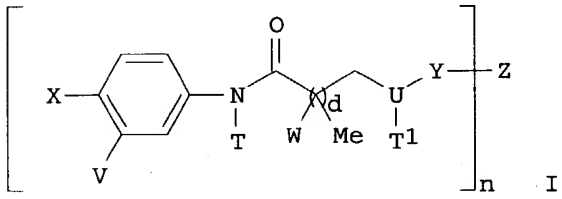

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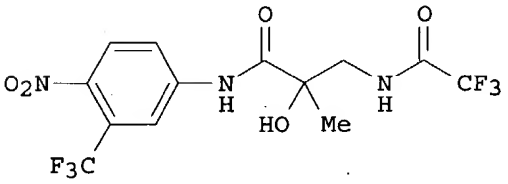


II

AB Title compds. I [X = NO2, CN, halo; V = CF3, halo, H; W = OH when T = H and is Me when T, T1 are taken together to form a C:Z bridge; U = N when T, T1 are taken together to form a C:Z bridge or is taken together with T to form a bond or O or N; Q = chalcogen; n = 1-2; d = 0-1; when d = 0, T, T1 = H; when d is 1, then: when n is 1, Y = bond, linking group; Z when other than taken together with Y, is aliphatic, polyfluoroacylamido, haloanilino, and when n is 2, Y and Z are taken together to form a bond or

a linking group] as well as radiolabeled derivs. were prepared The compds. bind specifically to the androgen receptor and find use in indications associated with the androgen receptor, such as cell hyperplasia dependent on androgens, hirsutism, acne and androgenic alopecia. Thus, II was prepared by ammonolysis of the corresponding epoxide and amidation with trifluoroacetic anhydride. II was formulated in isopropanol and water and measured for shelf-stability and shows a 9% androgen receptor remaining when tested at 10υM against LNCaP.

ST prostate cancer hirsutism acne aniline; aniline prepn androgen receptor suppressor; alopecia hyper androgenic syndrome aniline

IT Hyperplasia
(cell; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Alopecia
(male pattern; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Androgen receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(suppressors; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Acne
Alopecia
Antitumor agents
Hirsutism
Human
Neoplasm
Prostate gland, neoplasm
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Acne
(vulgaris; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT **260980-89-0P**, Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]-
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT **279228-81-8P**, Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- 279228-88-5P, Benzonitrile, 4-(3,3-dimethyl-2,5-dioxo-1-pyrrolidinyl)-2-(trifluoromethyl)- 279228-89-6P, Benzonitrile, 2-(trifluoromethyl)-4-(3,3,4-trimethyl-2,5-dioxo-1-pyrrolidinyl)- 279228-90-9P, Benzonitrile, 4-(3,3,4,4-tetramethyl-2,5-dioxo-1-pyrrolidinyl)-2-(trifluoromethyl)- 279228-91-0P, Benzonitrile, 4-(2-hydroxy-3,3,4,4-tetramethyl-5-oxo-1-pyrrolidinyl)-2-(trifluoromethyl)- 279228-93-2P, Carbamic acid, [4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-4-imino-5,5-dimethyl-2-thioxo-1-imidazolidinyl]butyl]-, 1,1-dimethylethyl ester 279228-94-3P, Benzonitrile, 4-[3-(4-aminobutyl)-5-imino-4,4-dimethyl-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- 279229-04-8P, Benzonitrile, 2-(trifluoromethyl)-4-[3,3,4-trimethyl-2,5-dioxo-4-(2-propynyl)-1-pyrrolidinyl]- 279229-08-2P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-3-(2-propynyloxy)-
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 22205-17-0P, Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-(2-mercaptoethyl)- 186798-86-7P, Benzonitrile, 4-[3-[(2E)-3-iodo-2-propenyl]-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- 186798-87-8P, Benzonitrile, 4-[3-[(2Z)-3-iodo-2-propenyl]-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- **279228-82-9P**, Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- **279228-83-0P**, Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- 279228-84-1P, Propanamide, 3-[(2,2,3,3,4,4,4-heptafluorobutyl)amino]-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- 279228-85-2P, Butanamide, N-[2-[[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]thio]ethyl]-2,2,3,3,4,4,4-heptafluoro- 279228-87-4P, Butanamide, N-[2-[[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]sulfonyl]ethyl]-2,2,3,3,4,4,4-heptafluoro- 279228-92-1P, Benzonitrile, 4-[2-(2,2,3,3,4,4,4-heptafluorobutoxy)-3,3,4,4-tetramethyl-5-oxo-1-pyrrolidinyl]-2-(trifluoromethyl)- 279228-96-5P, Butanamide, N-[4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-4-imino-5,5-dimethyl-2-thioxo-1-imidazolidinyl]butyl]-2,2,3,3,4,4,4-heptafluoro- 279228-97-6P, Thiourea, N-[4-cyano-3-(trifluoromethyl)phenyl]-N'-(2,2,3,3,4,4,4-heptafluorobutyl)- 279228-98-7P, Propanamide, 2-hydroxy-2-methyl-3-[methyl[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]amino]-N-[4-nitro-3-(trifluoromethyl)phenyl]- 279228-99-8P, Propanamide, 3,3'-iminobis[2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- 279229-00-4P, 7,10-Dioxa-4,13-diazahexadecanediamide, 2,15-dihydroxy-2,15-dimethyl-N,N'-bis[4-nitro-3-(trifluoromethyl)phenyl]- 279229-01-5P, Propanamide, 3,3'-iminobis[N-(4-chlorophenyl)-2-hydroxy-2-methyl- 279229-02-6P, Propanamide, 3-[[[(4-bromophenyl)amino]thioxomethyl]amino]-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- 279229-03-7P, Propanamide, 3-[[[(cyclohexylmethyl)amino]thioxomethyl]amino]-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- **279229-05-9P**, Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- 279229-06-0P, Thiourea, N-[4-cyano-3-(trifluoromethyl)phenyl]-N'-propyl- 279229-07-1P, Propanamide, 2-hydroxy-3-[[[(4-iodophenyl)amino]carbonyl]amino]-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- 355010-26-3P, Butanamide, N-[2-[(S)-[(2R)-3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]sulfinyl]ethyl]-2,2,3,3,4,4,4-heptafluoro-, rel- 355015-67-7P, Benzonitrile, 4-[3-[4-[(2-aminoethyl)thio]butyl]-5-imino-4,4-dimethyl-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- 355016-11-4P, Butanamide, N-[2-[[4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-4-imino-5,5-dimethyl-2-thioxo-1-imidazolidinyl]butyl]thio]ethyl]-2,2,3,3,4,4,4-heptafluoro- 355017-77-5P, Benzonitrile, 2-(trifluoromethyl)-4-[3,3,4-trimethyl-2,5-dioxo-4-(6,7,7-trifluoro-6-hepten-2-ynyl)-1-pyrrolidinyl]- 355018-37-0P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-3-[[(2E)-3-(iodo-125I)-2-propenyl]oxy]-2-methyl- 355018-40-5P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-3-[[(2Z)-3-(iodo-125I)-2-propenyl]oxy]-2-methyl- 355018-41-6P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-3-[[3,3-di(iodo-125I)-2-propenyl]oxy]-2-hydroxy-2-methyl- 355018-47-2P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-3-[[(2E)-3-(iodo-125I)-2-propenyl]thio]-2-methyl- 355018-58-5P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-3-[[(2Z)-3-(iodo-125I)-2-propenyl]thio]-2-methyl- 355018-71-2P, BP 554 355018-94-9P, Butanamide, N-[2-[(R)-[(2R)-3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]sulfinyl]ethyl]-2,2,3,3,4,4,4-heptafluoro-, rel-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 74-88-4, Iodomethane, reactions 106-96-7, Propargyl bromide 107-10-8, 1-Propylamine, reactions 107-19-7, Propargyl alcohol 335-64-8, Pentadecafluorooctanoyl chloride 374-99-2, 2,2,3,3,4,4,4-Heptafluorobutyl amine 375-01-9, 2,2,3,3,4,4,4-Heptafluorobutanol 375-16-6, Heptafluorobutyryl chloride 407-25-0, Trifluoroacetic anhydride 654-70-6, 4-Amino-2-trifluoromethylbenzonitrile 929-59-9 1095-85-8, 2-(Triphenylmethylthio)ethylamine 1336-21-6, Ammonium hydroxide 1985-12-2, 4-Bromophenylisothiocyanate 7664-41-7, Ammonia, reactions 10493-44-4, 4-Bromo-1,1,2-trifluorobut-1-ene 15845-62-2, p-Iodophenylisocyanate 17347-61-4, 2,2-Dimethylsuccinic anhydride 24359-64-6, Sodium iodide (Na125I) 27846-30-6, 2-Propyne-1-thiol 52395-66-1, Cyclohexylmethylisothiocyanate 54910-89-3, Fluoxetine 65925-79-3, Oxiranecarboxamide, N-(4-chlorophenyl)-2-methyl- 90357-50-9, BP 33 90357-51-0, Oxiranecarboxamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-methyl- 143782-23-4, Benzonitrile, 4-isothiocyanato-2-(trifluoromethyl)- 186798-67-4, Benzonitrile, 4-[4,4-dimethyl-5-oxo-3-(2-propynyl)-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- 279229-13-9, Carbamic acid, [4-[(1-cyano-1-methylethyl)amino]butyl]-, 1,1-dimethylethyl ester 279229-14-0, Propanamide, 3-amino-N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 279229-09-3P, Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-[(triphenylmethyl)thio]ethyl]- 279229-11-7P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-3-(2-propynylthio)- 355117-71-4P, Benzonitrile, 4-[4,4-dimethyl-5-oxo-2-thioxo-3-[3-(tributylstannyl)-2-propenyl]-1-imidazolidinyl]-2-(trifluoromethyl)- 355117-77-0P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-3-[[(2E)-3-(tributylstannyl)-2-propenyl]oxy]- 355117-78-1P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-3-[[(2Z)-3-(tributylstannyl)-2-propenyl]oxy]- 355117-82-7P, Propanamide, 3-[[3,3-bis(tributylstannyl)-2-propenyl]oxy]-N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl- 355117-86-1P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-3-[[(2E)-3-(tributylstannyl)-2-propenyl]thio]- 355118-01-3P, BP 550 355118-23-9P, Propanamide, 3-[[3,3-bis(tributylstannyl)-2-propenyl]thio]-N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

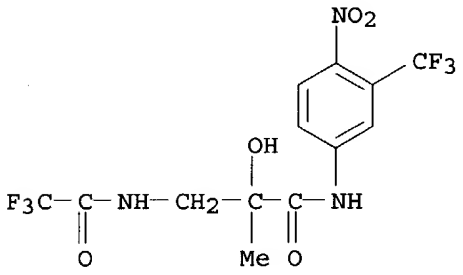
(1) Anon; EP 0100172 1983 HCAPLUS
(2) Anon; WO 9700071 1997 HCAPLUS
(3) Battmann; J Steroid Biochem Molec Biol 1994, V48, P55 HCAPLUS
(4) Battmann; J Steroid Biochem Molec Biol 1998, V64, P103 HCAPLUS
(5) Brouwer; J of Dermatology 1997, V137, P699
(6) Cousty-Berlin; J Steroid Biochem Molec Biol 1994, V51, P47 HCAPLUS
(7) Kaufman; Dermatologic Clinics 1996, V14, P697 HCAPLUS
(8) Kondo; Relative Potency of Antiadnrogens 1996, P146 HCAPLUS
(9) Kuil; European Urology 1996, V29, P78
(10) Shapiro; Dermatologic Clinics 1998, V16, P341 HCAPLUS
(11) Simard; Adult Urology 1997, V49, P580 MEDLINE
(12) Sovak; US 5656651 A 1997 HCAPLUS
(13) Toney; Steroid Biochem Molec Biol 1997, V60, P131 HCAPLUS

(14) Tucker; US 4636505 A 1987 HCAPLUS
(15) Tucker; US 4880839 A 1989 HCAPLUS
(16) Tucker; J of Medicinal Chemistry 1988, V31(5) HCAPLUS
(17) Tucker; J of Medicinal Chemistry 1988, V31(4) HCAPLUS

IT **260980-89-0P**, Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]-
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

RN 260980-89-0 HCAPLUS

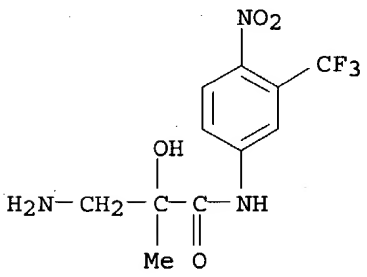
CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



IT **279228-81-8P**, Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

RN 279228-81-8 HCAPLUS

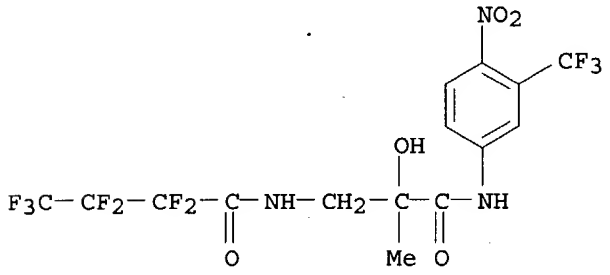
CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



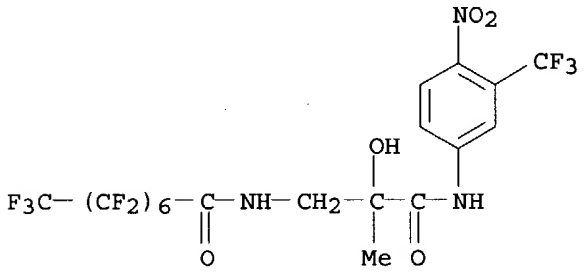
IT **279228-82-9P**, Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]-
279228-83-0P, Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- **279229-05-9P**, Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

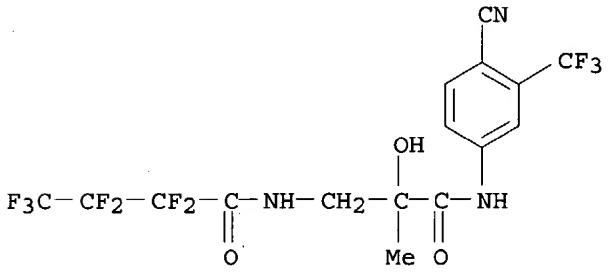
RN 279228-82-9 HCAPLUS
CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279228-83-0 HCAPLUS
CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279229-05-9 HCAPLUS
CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)



L42 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:597944 HCAPLUS
DN 135:180626
ED Entered STN: 17 Aug 2001
TI Synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and

other hyper-androgenic syndromes
IN **Sovak, Milos**; **Seligson, Allen**; Douglass, James Gordon, III; **Brown, Jason W.**; **Campion, Brian**
PA **Biophysica, Inc, USA**
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C237-22
ICS A61K031-165; A61P005-28
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 63
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001058855	A1	20010816	WO 2001-US4290	20010209
WO 2001058855	C2	20021031		
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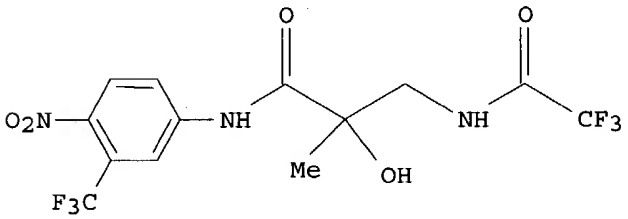
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6472415	B1	20021029	US 2000-502376	20000211
JP 2003522752	T2	20030729	JP 2001-558407	20010209
PRAI US 2000-502376	A	20000211		
US 1998-215351	A2	19981218		
WO 2001-US4290	W	20010209		

OS CASREACT 135:180626; MARPAT 135:180626
GI



I

AB Synthesis of substituted anilines, e.g. (I), are provided comprising an hydantoin, urea or 2-hydroxyl-2-methylpropionyl group, dimers and alkyl, polyfluoroamido and haloarylamino derivs., as well as radiolabeled derivs. The compds. bind specifically to the androgen receptor and find use in indications associated with the androgen receptor, such as cell hyperplasia dependent on androgens, hirsutism, acne and androgenic alopecia. Thus, I was prepared by ammonolysis of the corresponding epoxide and amidation with trifluoroacetic anhydride. I was formulated in isopropanol and water and measured for shelf-stability and shows a 9% androgen receptor remaining when tested at 10υM against LNCaP.
ST prostate cancer hirsutism acne aniline; aniline prepn androgen receptor suppressor; alopecia hyper androgenic syndrome aniline
IT Alopecia
(male pattern; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate

cancer, alopecia and other hyper-androgenic syndromes)

IT Prostate gland
(neoplasm, inhibitors; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Antitumor agents
(prostate gland; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Androgen receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(suppressors; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Acne
Hirsutism
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 121-44-8, Triethylamine, miscellaneous 141-78-6, Ethyl acetate, miscellaneous
RL: MSC (Miscellaneous)
(solvent; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT **260980-89-0P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 22205-17-0P **279228-81-8P** 279228-85-2P 279228-88-5P
279228-89-6P 279228-90-9P 279228-91-0P 279228-93-2P 279228-94-3P
279229-04-8P 279229-08-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 186798-86-7P 186798-87-8P **279228-82-9P 279228-83-0P**
279228-84-1P 279228-87-4P 279228-92-1P 279228-96-5P 279228-97-6P
279228-98-7P 279228-99-8P 279229-00-4P 279229-01-5P 279229-02-6P
279229-03-7P **279229-05-9P** 279229-06-0P 279229-07-1P
355010-26-3P 355016-11-4P 355017-77-5P 355018-37-0P 355018-40-5P
355018-41-6P 355018-47-2P 355018-58-5P 355018-71-2P, BP 554
355018-94-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 7487-88-9, Magnesium sulfate, uses 7647-01-0, Hydrochloric acid, uses
RL: NUU (Other use, unclassified); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 74-88-4, Iodomethane, reactions 106-96-7, Propargyl bromide 107-10-8,

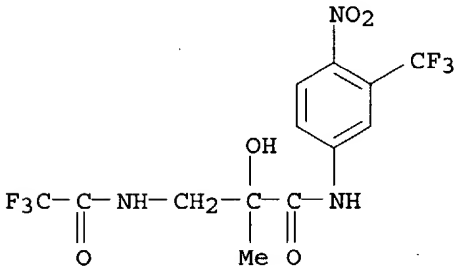
1-Propylamine, reactions 107-19-7, Propargyl alcohol 335-64-8, Pentadecafluorooctanoyl chloride 374-99-2, 2,2,3,3,4,4,4-Heptafluorobutyl amine 375-01-9, 2,2,3,3,4,4,4-Heptafluorobutanol 375-16-6, Heptafluorobutyryl chloride 407-25-0, Trifluoroacetic anhydride 654-70-6, 4-Amino-2-trifluoromethylbenzonitrile 929-59-9, Jeffamine XTJ 504 1095-85-8, 2-(Triphenylmethylthio)ethylamine 1336-21-6, Ammonium hydroxide 1985-12-2, 4-Bromophenylisothiocyanate 7664-41-7, Ammonia, reactions 10493-44-4, 4-Bromo-1,1,2-trifluorobut-1-ene 15845-62-2, p-Iodophenylisocyanate 17347-61-4, 2,2-Dimethylsuccinic anhydride 24359-64-6, Sodium iodide (Na125I) 27846-30-6, 2-Propyne-1-thiol 52395-66-1, Cyclohexylmethylisothiocyanate 54910-89-3, Fluoxetine 65925-79-3 90357-50-9, BP 33 90357-51-0 143782-23-4 186798-67-4 279229-13-9 279229-14-0 355015-67-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 279229-09-3P 279229-11-7P 355117-71-4P 355117-77-0P 355117-78-1P 355117-82-7P 355117-86-1P 355118-01-3P, BP 550 355118-23-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Tucker, H; JOURNAL OF MEDICINAL CHEMISTRY 1988, V31(4), P885 HCAPLUS
(2) Tucker, H; JOURNAL OF MEDICINAL CHEMISTRY 1988, V31(5), P954 HCAPLUS

IT **260980-89-0P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

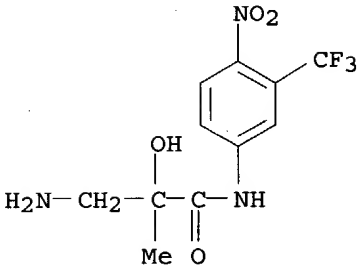
RN 260980-89-0 HCAPLUS
CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



IT **279228-81-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

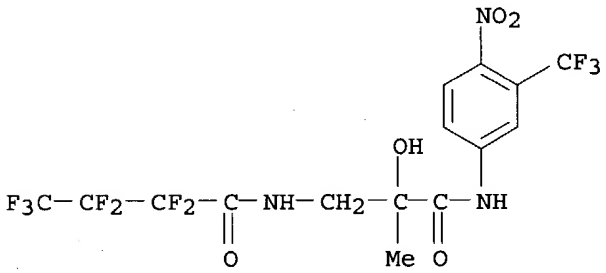
RN 279228-81-8 HCAPLUS
CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-

(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

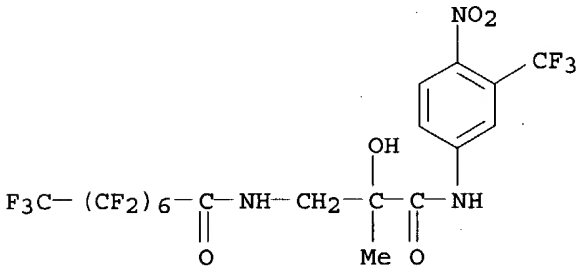


IT **279228-82-9P 279228-83-0P 279229-05-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

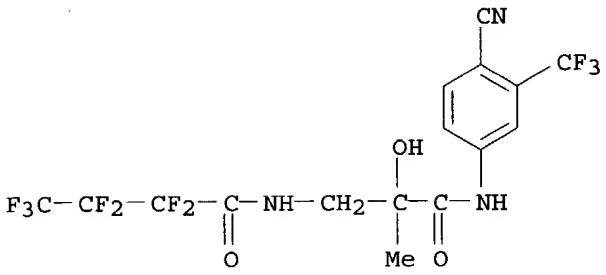
RN 279228-82-9 HCAPLUS
CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279228-83-0 HCAPLUS
CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279229-05-9 HCAPLUS
CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)



L42 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:597943 HCAPLUS
DN 135:152631
ED Entered STN: 17 Aug 2001
TI Preparation of topical antiandrogens for the treatment of hair loss and other hyperandrogenic conditions
IN **Sovak, Milos**; **Seligson, Allen L.**; Douglass, James Gordon, III; **Campion, Brian**; **Brown, Jason W.**
PA **Biophysica, Inc., USA**
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C237-22
ICS A61K031-165; A61P005-28
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 63
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058854	A1	20010816	WO 2000-US14792	20000525
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6472415	B1	20021029	US 2000-502376	20000211
	EP 1169301	A1	20020109	EP 2000-937913	20000525
	EP 1169301	B1	20040218		
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	DE 10084380	T	20020620	DE 2000-10084380	20000525
	RU 2225390	C2	20040310	RU 2001-130354	20000525
PRAI	US 2000-502376	A	20000211		
	US 1998-215351	A2	19981218		
	WO 2000-US14792	W	20000525		

OS CASREACT 135:152631; MARPAT 135:152631
AB **2-Hydroxy-2-methyl-N-[4-X-3-(trifluoromethyl)phenyl]-3-[2,2,2-perfluoroacylamino]propionamides** (X = nitro, cyano, halogen of atomic number 9 -35; perfluoroacylamido = 2-3 carbon atoms and 0-1hydrogen atom) [e.g., **2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[2,2,2-**

trifluoroacetylamino]propanamide] are prepared which, when applied topically, specifically inhibit and/or eliminate cutaneous androgen receptors and thus find cosmetic use in skin afflictions associated with excess androgens such as hair effluvium, hirsutism, acne and androgenic alopecia.

ST hydroxymethylnitrotrifluoromethylphenyltrifluoroacetylaminopropanamide prepn topical antiandrogen; baldness treatment prepn hydroxymethylnitrotrifluoromethylphenyltrifluoroacetylaminopropanamide topical antiandrogen

IT Acne
Alopecia
(2-hydroxy-2-methyl-N-[4-X-3-(trifluoromethyl)phenyl]-3-[2,2,2-perfluoroacylamino]propionamide topical antiandrogens for treatment of)

IT Androgen receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)
(antagonists; **2-hydroxy-2-methyl-N-[4-X-3-(trifluoromethyl)phenyl]-3-[2,2,2-perfluoroacylamino]propionamides**)

IT Androgens
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiandrogens; **2-hydroxy-2-methyl-N-[4-X-3-(trifluoromethyl)phenyl]-3-[2,2,2-perfluoroacylamino]propionamides**)

IT Hair preparations
(growth stimulants; **2-hydroxy-2-methyl-N-[4-X-3-(trifluoromethyl)phenyl]-3-[2,2,2-perfluoroacylamino]propionamides**)

IT **279228-81-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of topical antiandrogens for the treatment of hair loss and other hyperandrogenic conditions)

IT **260980-89-0P 353279-25-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of topical antiandrogens for the treatment of hair loss and other hyperandrogenic conditions)

IT 356-42-3, Perfluoropropionic anhydride 407-25-0, Trifluoroacetic anhydride 90357-50-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of topical antiandrogens for the treatment of hair loss and other hyperandrogenic conditions)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

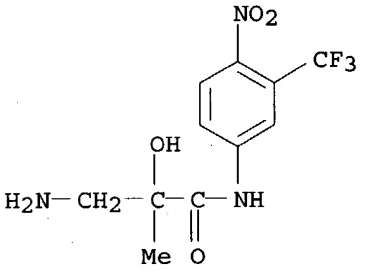
RE

(1) Biophysica Inc; WO 0037430 A 2000 HCAPLUS
(2) Tucker, H; JOURNAL OF MEDICINAL CHEMISTRY 1988, V31(4), P885 HCAPLUS
(3) Tucker, H; JOURNAL OF MEDICINAL CHEMISTRY 1988, V31(5), P954 HCAPLUS

IT **279228-81-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

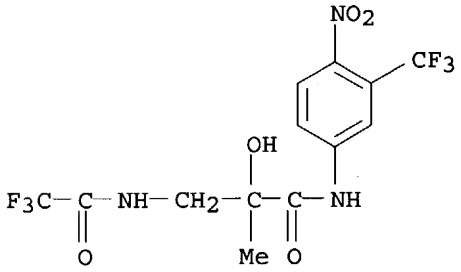
(Reactant or reagent); USES (Uses)
(preparation of topical antiandrogens for the treatment of hair loss and other hyperandrogenic conditions)

RN 279228-81-8 HCAPLUS
CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

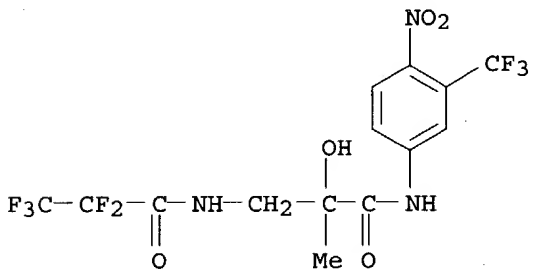


IT **260980-89-0P 353279-25-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of topical antiandrogens for the treatment of hair loss and other hyperandrogenic conditions)

RN 260980-89-0 HCAPLUS
CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



RN 353279-25-1 HCAPLUS
CN Propanamide, 2,2,3,3,3-pentafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)

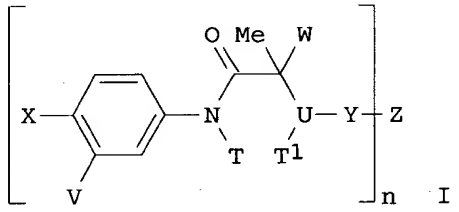


L42 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:441763 HCAPLUS

DN 133:73866
ED Entered STN: 30 Jun 2000
TI Preparation of amides and ureas as androgen receptor suppressors
IN **Sovak, Milos**; **Seligson, Allen L.**; Douglas, James Gordon, III; **Campion, Brian**; **Brown, Jason W.**
PA **Biophysica, Inc., USA**
SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07C237-04
ICS A61K031-16; A61K031-40; A61K031-415; C07C237-22; C07C323-60; C07C317-48; C07D207-40; C07D207-26; C07D233-88; C07D233-86; C07C235-22; C07C335-16; C07C335-08; C07C255-56; C07C255-60; C07C275-30; C07D207-36; C07M005-00; A61P005-00
CC 25-21 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000037430	A2	20000629	WO 1999-US26862	19991112
WO 2000037430	A3	20030417		
W: AU, CZ, HU, IL, JP, NO, PL, SK, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6184249	B1	20010206	US 1998-215351	19981218
EP 1144366	A2	20011017	EP 1999-958948	19991112
EP 1144366	A3	20030604		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI US 1998-215351	A	19981218		
WO 1999-US26862	W	19991112		

OS MARPAT 133:73866
GI



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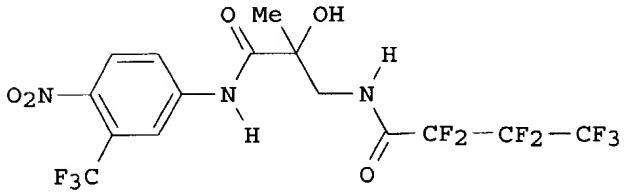


II

AB The title compds. [I; X = NO2, CN, halo; V = CF3, halo, H; W = OH when T = H, and W = Me when T and T1 are taken together to form a C:Z bridge; U = N when T and T1 are taken together to form a C:Z bridge or is taken together

with T1 to form a bond or O, S or N; n = 1-2 and d = 0-1; Y = a bond, C1-10 linking group containing heteroatoms; Z, when other than taken together with Y, = (un)saturated aliphatic , polyfluoroacrylamidoalkyl] and their radiolabeled derivs. which bind specifically to the androgen receptor and find use in indication associated with the androgen receptor, such as cell hyperplasia dependent on androgens, hirsutism, acne and androgenetic alopecia, were prepared Thus, treatment of 4-nitro-3-trifluoromethyl-N-(2,3-epoxy-2-methylpropionyl)aniline in MeOH with NH3 in preassure reactor followed by reacting 4-nitro-3-trifluoromethyl-N-(2-hydroxy-2-methyl-3-aminopropionyl)aniline with haptafluorobutyryl chloride afforded II. Biol. data for compds. I were given.

ST androgen receptor suppressor amide urea prepn; hyperplasia cell amide urea prepn; hirsutism amide urea prepn; acne amide urea prepn; alopecia amide urea prepn

IT Alopecia
(androgenetic alopecia; preparation of amides and ureas as androgen receptor suppressors)

IT Hyperplasia
(cell hyperplasia dependent on androgens; preparation of amides and ureas as androgen receptor suppressors)

IT Acne
Hirsutism
(preparation of amides and ureas as androgen receptor suppressors)

IT Androgen receptors
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(preparation of amides and ureas as androgen receptor suppressors)

IT 279228-81-8P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amides and ureas as androgen receptor suppressors)

IT **279228-82-9P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and ureas as androgen receptor suppressors)

IT 279228-88-5P 279228-89-6P 279228-90-9P 279228-91-0P 279228-93-2P
279228-94-3P 279228-96-5P 279229-04-8P 279229-08-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amides and ureas as androgen receptor suppressors)

IT 186798-86-7P 186798-87-8P **279228-83-0P** 279228-84-1P
279228-85-2P 279228-86-3P 279228-87-4P 279228-92-1P 279228-95-4P
279228-97-6P 279228-98-7P 279228-99-8P 279229-00-4P 279229-01-5P
279229-02-6P 279229-03-7P **279229-05-9P** 279229-06-0P
279229-07-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and ureas as androgen receptor suppressors)

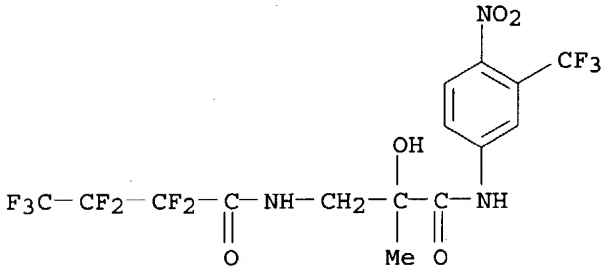
IT 106-96-7, Propargyl bromide 107-10-8, n-Propylamine, reactions
107-19-7, Propargyl alcohol 335-64-8 374-99-2, 2,2,3,3,4,4,4-Heptafluorobutylamine 375-01-9, 2,2,3,3,4,4,4-Heptafluorobutanol
375-16-6 654-70-6 1985-12-2, 4-Bromophenyl isothiocyanate 2059-76-9, 4-Iodophenyl isothiocyanate 17347-61-4 27846-30-6, 2-Propyne-1-thiol
52395-66-1, Cyclohexylmethyl isothiocyanate 54910-89-3, Fluoxetine
90357-50-9 90357-51-0 143782-23-4 186798-67-4 279229-13-9

279229-14-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amides and ureas as androgen receptor suppressors)

IT 22205-17-0P 186798-75-4P 279229-09-3P 279229-10-6P 279229-11-7P 279229-12-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amides and ureas as androgen receptor suppressors)

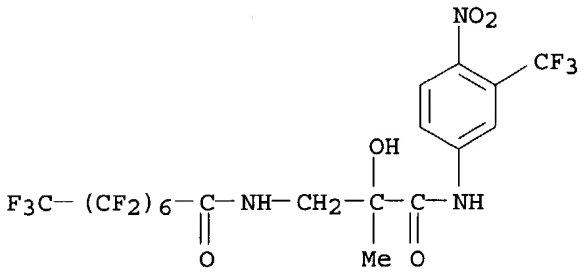
IT **279228-82-9P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and ureas as androgen receptor suppressors)

RN 279228-82-9 HCAPLUS
CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)

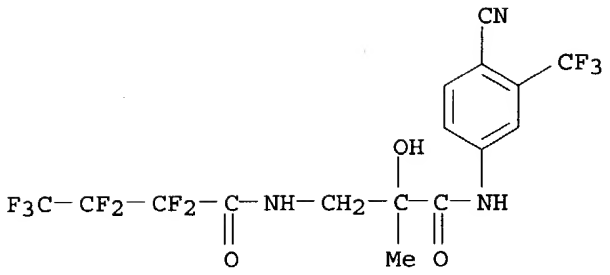


IT **279228-83-0P 279229-05-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and ureas as androgen receptor suppressors)

RN 279228-83-0 HCAPLUS
CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279229-05-9 HCAPLUS
CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)



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CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 16:47:55 ON 16 MAR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)


=> d bib abs hitstr tot l43

L43  ANSWER 1 OF 3  USPATFULL on STN
AN      2004:19428  USPATFULL
TI      A TOPICAL ANTIANDROGEN FOR HAIR LOSS AND OTHER HYPERANDROGENIC
        CONDITIONS
IN      Sovak, Milos, 3333 North Torrey Pines, Number 100, La Jolla, CA, UNITED
        STATES  92037
        Seligson, Allen L, 1770 Deavers, San Marcos, CA, UNITED STATES  92061
        Campion, Brian, 4950 Santa Cruz Avenue, San Diego, CA, UNITED STATES
        92067
        Brown, Jason W, 959 North Vulcan Avenue, Leucadia, CA, UNITED STATES
        92024
PA      Biophysica, Inc., La Jolla, CA, UNITED STATES, 92037 (U.S. corporation)
PI      US 2004014732      A1   20040122
AI      US 2000-580503     A1   20000525 (9)
DT      Utility
FS      APPLICATION
LREP    FLIESLER DUBB MEYER & LOVEJOY, LLP, FOUR EMBARCADERO CENTER, SUITE 400,
        SAN FRANCISCO, CA, 94111
CLMN    Number of Claims: 11
ECL     Exemplary Claim: 1
DRWN    No Drawings
LN.CNT 466
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB      Abstract of the Disclosure

                          Compound (2-hydroxy-2-methyl-N-(4-X-3-
        (trifluoromethyl)phenyl)-3-(2,2,2-perfluoroacylamino)propionamide)
        applied topically, specifically inhibits and/or eliminates cutaneous
        androgen receptors and thus finds cosmetic use in skin afflictions
        associated with excess androgens such as hair effluvium, hirsutism, acne
        and androgenic alopecia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT   260980-89-0, BP 766 353279-25-1, BP 780
        (topical antiandrogen for hair loss and other hyperandrogenic
        conditions)
RN   260980-89-0  USPATFULL
CN   Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-
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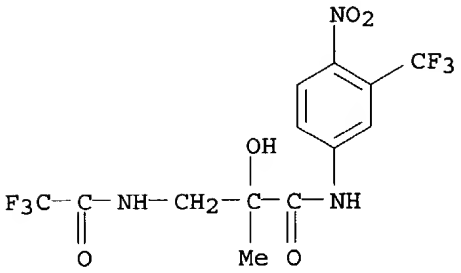
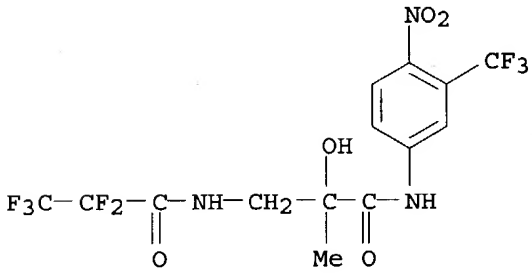
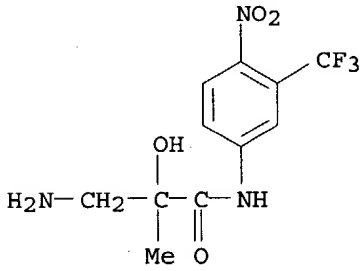
[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



RN 353279-25-1 USPATFULL
CN Propanamide, 2,2,3,3,3-pentafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



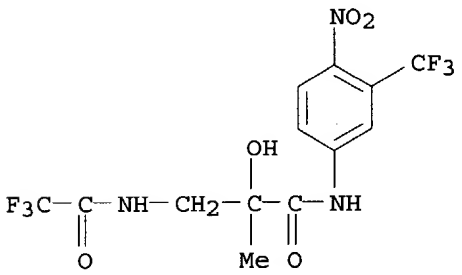
IT **279228-81-8P**, BP 34
(topical antiandrogen for hair loss and other hyperandrogenic conditions)
RN 279228-81-8 USPATFULL
CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



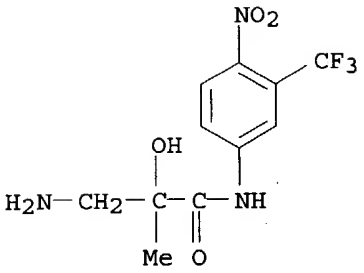
L43 ANSWER 2 OF 3 USPATFULL on STN
AN 2002:283295 USPATFULL
TI Androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes
IN Sovak, Milos, La Jolla, CA, United States
Seligson, Allen L., San Marcos, CA, United States
Douglas, III, James Gordon, San Diego, CA, United States
Campion, Brian, Leucadia, CA, United States
Brown, Jason W., San Diego, CA, United States
PA Biophysica, Inc., La Jolla, CA, United States (U.S. corporation)

PI US 6472415 B1 20021029
AI US 2000-502376 20000211 (9)
RLI Continuation-in-part of Ser. No. US 1998-215351, filed on 18 Dec 1998
DT Utility
FS GRANTED
EXNAM Primary Examiner: Higel, Floyd D.; Assistant Examiner: Sackey, Ebenezer
LREP Libby, Jeffrey M., Rae-Venter, Barbara, Rae-Venter Law Group, P.C.
CLMN Number of Claims: 35
ECL Exemplary Claim: 1
DRWN 5 Drawing Figure(s); 2 Drawing Page(s)
LN.CNT 1339
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Substituted phenylalanines are provided comprising an hydantoin, urea or 2-hydroxyl, 2-methylpropionyl group, dimers thereof and alkyl, polyfluoroamido and haloarylamino derivatives thereof, as well as radiolabeled derivatives thereof. The compounds bind specifically to the androgen receptor and find use in indications associated with the androgen receptor, such as cell hyperplasia dependent on androgens, hirsutism, acne and androgenetic alopecia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **260980-89-0P**, Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]-
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
RN 260980-89-0 USPATFULL
CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



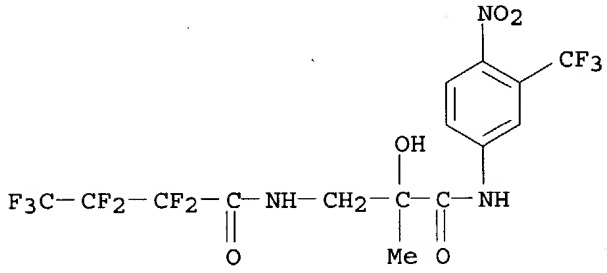
IT **279228-81-8P**, Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
RN 279228-81-8 USPATFULL
CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IT **279228-82-9P**, Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- **279228-83-0P**, Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- **279229-05-9P**, Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro-
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

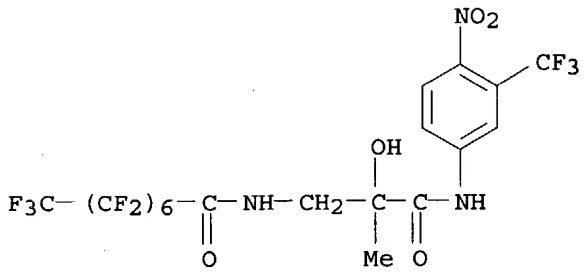
RN 279228-82-9 USPATFULL

CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



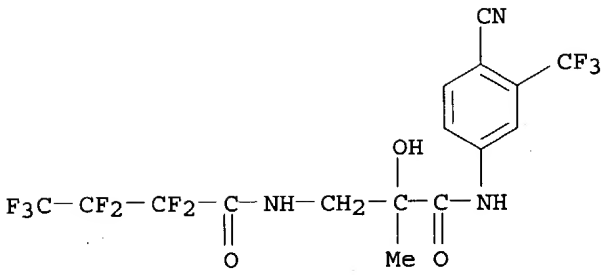
RN 279228-83-0 USPATFULL

CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



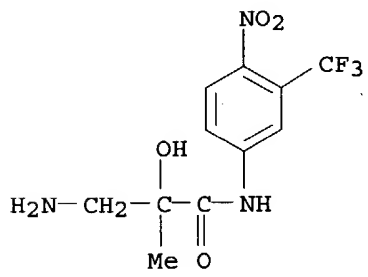
RN 279229-05-9 USPATFULL

CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)

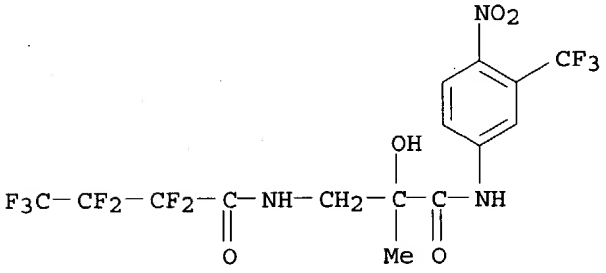


L43 ANSWER 3 OF 3 USPATFULL on STN
AN 2001:18495 USPATFULL
TI Androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes
IN Sovak, Milos, La Jolla, CA, United States
Seligson, Allen L., San Marcos, CA, United States
Douglass, III, James Gordon, San Diego, CA, United States
Campion, Brian, Leucadia, CA, United States
Brown, Jason W., San Diego, CA, United States
PA Biophysica, Inc., La Jolla, CA, United States (U.S. corporation)
PI US 6184249 B1 20010206
AI US 1998-215351 19981218 (9)
DT Utility
FS Granted
EXNAM Primary Examiner: Higel, Floyd D.; Assistant Examiner: Sackey, Ebenezer
LREP Rowland, Bertram I.Rae-Venter Law Group, P.C.
CLMN Number of Claims: 7
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 985
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Substituted phenylalanines are provided comprising an hydantoin, urea or 2-hydroxyl, 2-methylpropionyl group, dimers thereof and alkyl, polyfluoroamido and haloarylamino derivatives thereof, as well as radiolabeled derivatives thereof. The compounds bind specifically to the androgen receptor and find use in the therapy of indications associated with the androgen receptor, such as, hirsutism, acne and androgenetic alopecia, and in the therapy and diagnosis of cell hyperplasia dependent on androgens.

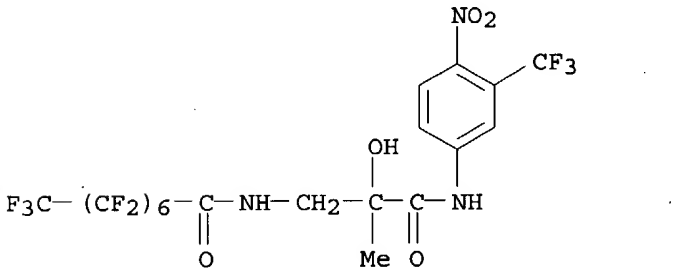
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **279228-81-8P**
(preparation of amides and ureas as androgen receptor suppressors)
RN 279228-81-8 USPATFULL
CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



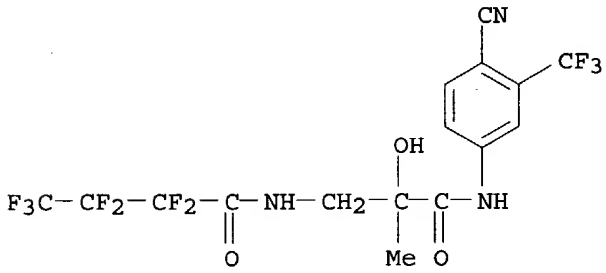
IT **279228-82-9P**
(preparation of amides and ureas as androgen receptor suppressors)
RN 279228-82-9 USPATFULL
CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



IT **279228-83-0P 279229-05-9P**
(preparation of amides and ureas as androgen receptor suppressors)
RN 279228-83-0 USPATFULL
CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279229-05-9 USPATFULL
CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)



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